

Category

Synthesis of Natural Products and Potential Drugs

Key words

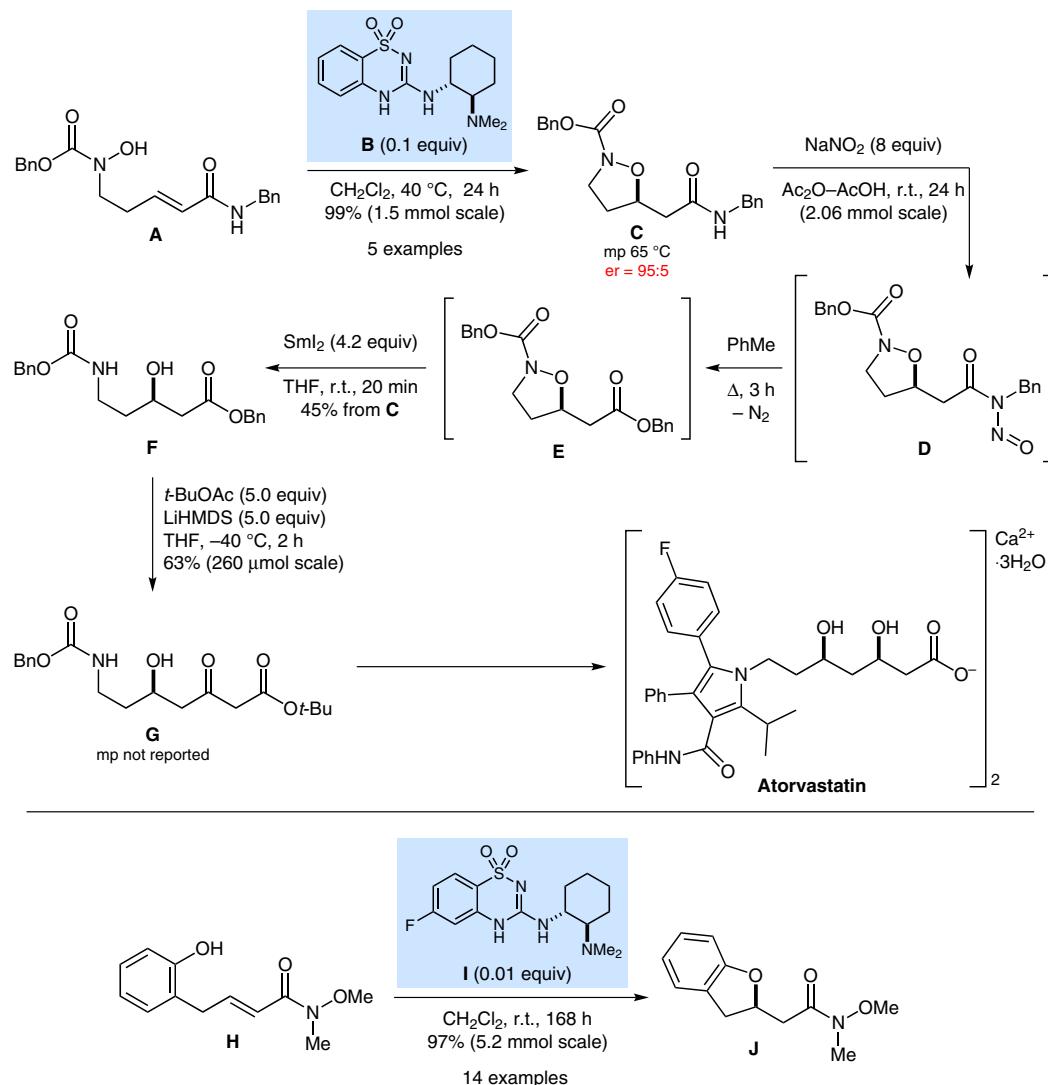
atorvastatin
enantioselectivity
oxa-Michael reaction
organocatalysis

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A Powerful Hydrogen-Bond-Donating Organocatalyst for the Enantioselective Intramolecular Oxa-Michael Reaction of α,β -Unsaturated Amides and Esters

Angew. Chem. Int. Ed. **2013**, *52*, 11114–11118.

A Formal Synthesis of Atorvastatin



Significance: The key step in this formal synthesis of atorvastatin (Lipitor[®]) is the enantioselective intramolecular oxa-Michael reaction of **A** mediated by 10 mol% of benzothiadiazine catalyst **B**. Methods for converting **G** and its relatives into atorvastatin have been summarized by Y. Kawato et al. (*Chem. Eur. J.* **2013**, *19*, 3802; see also references therein).

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Comment: For the conversion of *N*-nitrosamides into esters (e.g., **D** → **E**), see: D. T. Glatzhofer, R. R. Roy, K. N. Cossey *Org. Lett.* **2002**, *4*, 2349. Phenolic nucleophiles (14 examples) also participate in the oxa-Michael reaction, and in the case of **H** only 1 mol% of catalyst **I** is required.